CLAIMS

1. A method for the preparation of a compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof:

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$$O = \bigvee_{\substack{N \\ N \\ H}}^{NR^2R^3} S - R^1$$
(I)

in which

R¹ represents a C₃-C₇ carbocyclic, C₁-C₈ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl group, each of the groups being optionally substituted by one or more substituent groups independently selected from halogen atoms, -OR⁴, -NR⁵R⁶, -CONR⁵R⁶, -COOR⁷, -NR⁸COR⁹, -SR¹⁰, -SO₂R¹⁰, -SO₂NR⁵R⁶, -NR⁸SO₂R⁹ or an aryl or heteroaryl group, both of which may be optionally substituted by one or more substituents independently selected from halogen atoms, cyano, nitro, -OR⁴, -NR⁵R⁶, -CONR⁵R⁶, -COOR⁷, -NR⁸COR⁹, -SR¹⁰, -SO₂R¹⁰,

- -SO₂NR⁵R⁶, -NR⁸SO₂R⁹, C₁-C₆ alkyl or trifluoromethyl groups; R² and R³ each independently represent a hydrogen atom, or a C₃-C₇ carbocyclic, C₁-C₈ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl group, the latter four groups may be optionally substituted by one or more substituent groups independently selected from:
- (a) halogen atoms, -OR⁴, -NR⁵R⁶, -CONR⁵R⁶, -COOR⁷, -NR⁸COR⁹, -SR¹⁰, -SO₂R¹⁰, 20 -SO₂NR⁵R⁶, -NR⁸SO₂R⁹;
 - (b) a 3-8 membered ring optionally containing one or more atoms selected from O, S, NR⁸ and itself optionally substituted by C₁-C₃-alkyl or halogen; or
 - (c) an aryl group or heteroaryl group each of which may be optionally substituted by one or more substituents independently selected from halogen atoms, cyano, nitro, -OR⁴, -NR⁵R⁶,
- 25 -CONR⁵R⁶, -NR⁸COR⁹, -SO₂NR⁵R⁶, -NR⁸SO₂R⁹, C₁-C₆ alkyl and trifluoromethyl groups;

R⁴ represents hydrogen, C₁-C₆ alkyl or a phenyl group the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, -OR¹¹ and -NR¹²R¹³

R⁵ and R⁶ independently represent a hydrogen atom or a C₁-C₆ alkyl or phenyl group the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, -OR¹⁴ and -NR¹⁵R¹⁶, -CONR¹⁵R¹⁶, -NR¹⁵COR¹⁶, -SONR¹⁵R¹⁶, NR¹⁵SO₂R¹⁶

or

R⁵ and R⁶ together with the nitrogen atom to which they are attached form a 4- to

7-membered saturated heterocyclic ring system optionally containing a further heteroatom selected from oxygen and nitrogen atoms, which ring system may be optionally substituted by one or more substituent groups independently selected from phenyl, -OR¹⁴, -COOR¹⁴, -NR¹⁵R¹⁶, -CONR¹⁵R¹⁶, -NR¹⁵COR¹⁶, -SONR¹⁵R¹⁶, NR¹⁵SO₂R¹⁶ or C₁-C₆ alkyl, itself optionally substituted by one or more substituents independently selected from halogen atoms and -NR¹⁵R¹⁶ and -OR¹⁷ groups:

 R^{10} represents a hydrogen atom or a C_1 - C_6 -alkyl or a phenyl group, the latter two of which may be optionally substituted by one or more substituent groups independently selected from halogen atoms, phenyl, -OR¹⁷ and -NR¹⁵R¹⁶; and

each of \mathbb{R}^7 , \mathbb{R}^8 , \mathbb{R}^9 , \mathbb{R}^{11} , \mathbb{R}^{12} , \mathbb{R}^{13} , \mathbb{R}^{14} \mathbb{R}^{15} , \mathbb{R}^{16} , \mathbb{R}^{17} independently represents a hydrogen atom

20 or a C_1 - C_6 alkyl, or a phenyl group.

which method comprises contacting

$$O = \bigvee_{N = 1}^{L} \bigvee_{N = 1}^{N} S - R^{1}$$
IV

25 wherein L is a leaving group with a thiazole nitrogen protecting group reagent under appropriate reaction conditions to form a compound of the formula

$$O = \bigvee_{\substack{N \\ PG}} \bigvee_{N} \bigvee_{S-R^1} \bigvee_{III}$$

wherein PG is a protecting group,

5 reacting the compound of formula III with an amine of formula HNR²R³ to form a compound of formula

$$O = \bigvee_{N=1}^{NR^2R^3} \bigvee_{PG = R^1}^{NR^2R^3} S = R^1$$

and deprotection of the compound of formula II to give a compound of the formula I, and
10 simultaneous or sequential conversion to a pharmaceutically acceptable salt or solvate thereof.

- 2. A method as claimed in claim 1 and wherein R¹ represents an optionally substituted benzyl group.
- 15 3. A method as claimed in claim 1 or claim 2 and wherein one of \mathbb{R}^2 or \mathbb{R}^3 is hydrogen and the other is \mathbb{C}_1 - \mathbb{C}_8 alkyl substituted by hydroxy and one or more methyl or ethyl groups.

4. A method as claimed in claim 1 for the preparation of compounds of the formula Ia

Ia

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wherein each R^X is independently selected from hydrogen, a $C_{1\cdot4}$ alkyl group optionally substituted by hydroxy, amino, -O- $C_{1\cdot4}$ alkyl, -S- $C_{1\cdot4}$ alkyl, -N- $C_{1\cdot4}$ alkyl, -NHSO₂R, or -CONR₂ and provided that both R^X are not hydrogen or amino.

- 10 5. A method as claimed in claim 1 wherein each R^X is independently selected from hydrogen and hydroxymethyl, provided that both R^X are not hydrogen.
 - 6. A compound of the formula

$$O = \bigvee_{N=1}^{NR^2R^3} \bigvee_{N=1}^{NR^2R^3} S = R^1$$

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or a pharmaceutically acceptable salt or solvate thereof and wherein PG, R², R³ and R¹ have the meanings stated in claim 1.

7. A compound of the formula

$$O = \bigvee_{\substack{N \\ PG}} \bigvee_{N} \bigvee_{S-R^1} \bigvee_{III}$$

- 5 or a pharmaceutically acceptable salt or solvate thereof and wherein PG, L and R¹ have the meanings stated in claim 1.
 - 8. A compound of the formula

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or a pharmaceutically acceptable salt or solvate thereof and wherein L is a leaving group other than chlorine and R¹ has the meaning stated in claim 1.

15 9. A compound of the formula

$$H_2N$$
 N
 $S-R^1$

or a pharmaceutically acceptable salt or solvate thereof and wherein R¹ has the meaning stated in claim 1.

- 10. A compound selected from
- 5 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one, potassium salt;
 - 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one, sodium salt; and
 - 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-
- 10 methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one, potassium salt.